PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7: C07D 487/04, 519/00, A61K 31/551 // (C07D 487/04, 243:00, 209:00), (C07D 519/00, 487:00, 487:00)

(11) International Publication Number:

WO 00/12508

(43) International Publication Date:

9 March 2000 (09.03.00)

(21) International Application Number:

PCT/GB99/02838

(22) International Filing Date:

27 August 1999 (27.08.99)

(30) Priority Data:

9818733.9 27 August 1998 (27.08.98) GB 9901929.1 28 January 1999 (28.01.99) GB

(71) Applicant (for all designated States except US): THE UNI-VERSITY OF PORTSMOUTH HIGHER EDUCATION CORPORATION [GB/GB]; University House, Winston Churchill Avenue, Portsmouth PO1 2UP (GB).

(72) Inventors; and

- (75) Inventors/Applicants (for US only): THURSTON, David, Edwin [GB/GB]; University of Portsmouth, St Michael's Building, White Swan Road, Portsmouth, Hampshire PO21 2UP (GB), HOWARD, Philip, Wilson [GB/GB]; University of Portsmouth, St Michael's Building, White Swan Road, Portsmouth, Hampshire PO1 2DT (GB).
- (74) Agents: WATSON, Robert, J. et al.; Mewburn Ellis, York House, 23 Kingsway, London WC2B 6HP (GB).

(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,

SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW,

ML, MR, NE, SN, TD, TG).

Published

With international search report.
With amended claims.

(88) Date of publication of the international search report:

21 September 2000 (21.09.00)

Date of publication of the amended claims:

2 November 2000 (02.11.00)

(54) Title: PYRROLBENZODIAZEPINES

$$\begin{array}{c}
R, & \\
R$$

(57) Abstract

đ

Compounds of formula (Ia) and (Ib) wherein A is CH₂, or a single bond; R₂ is selected from: R, OH, OR, CO₂H, CO₂R, COH, COR, SO₂R, CN; R₆, R₇ and R₉ are independently selected from H, R, OH, OR, halo, amino, NHR, nitro, Me₃Sn; and R₈ is selected from H, R, OH, OR, halo, amino, NHR, nitro, Me₃Sn, where R is as defined above, or the compound is a dimer with each monomer being the same or different and being of formula (Ia) or (Ib), where the R₈ groups of the monomers form together a bridge having the formula -X-R'-X- linking the monomers, where R' is an alkylene chain containing from 3 to 12 carbon atoms, which chain may be interrupted by one or more hetero-atoms and/or aromatic rings and may contain one or more carbon-carbon double or triple bonds, and each X is independently selected from O, S, or N; except that in a compound of formula (Ia) when A is a single bond, then R₂ is not CH=CH(CONH₂) or CH=CH(CONMe₂). Other related compounds are also disclosed.